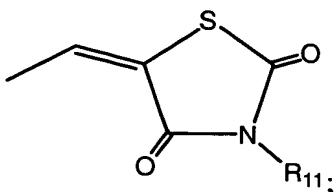


Alcont

with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R₅, R₈, R₉, R₁₀, and/or R₁₁ shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: -C(O)-NH₂, -(CH₂)_n-C(O)-NH₂, or



n is an integer from 0 to 3;
or a pharmaceutically acceptable salt thereof.

Remarks

The USPTO has informed Applicants that this continuation application was filed with page 220 missing. Page 220 consists of part of claim 7. This Preliminary Amendment is provided to correct the omission of that portion of claim 7.

Support for this amendment may be found in the specification beginning on line 1 of page 78 and continuing to line 6 of page 79.

A Version With Markings To Show Changes Made is attached. For the Examiner's convenience, a copy of page 220 is attached. Applicants request that the portion of claim 7 added by this Amendment be inserted as page 220, to provide the proper sequential numbering of the pages of the specification.

cket No: GI005324 C1
Patent

Applicants do not believe that a fee is due herewith, but if a fee should be due it may be charged to Deposit Acct. No. 01-1425.

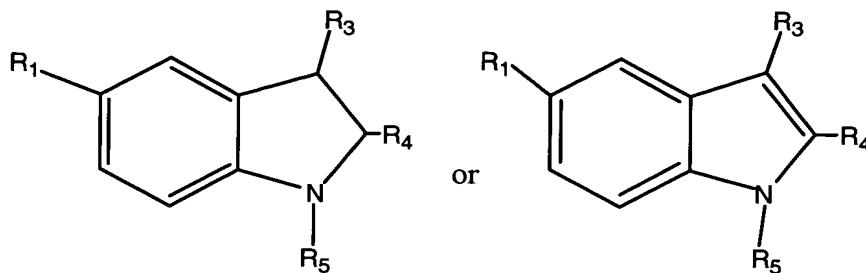

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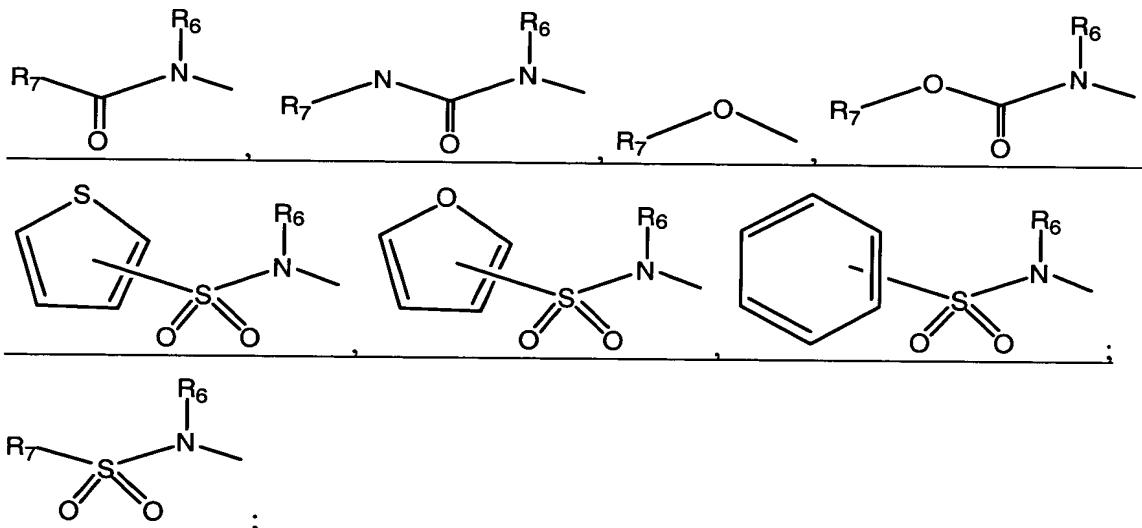
Version With Markings To Show Changes Made

--7. A compound of Claim 2 having the formula:



wherein:

R_1 is selected from Halogen, -NH₂, -O-phenyl, benzyl, -O-benzyl, -N-benzyl, -N-benzyl-O-phenyl, -S-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, -NO₂, -NH₂, -CN, -CF₃, or -OH; or R_1 is or a moiety of the formulae:



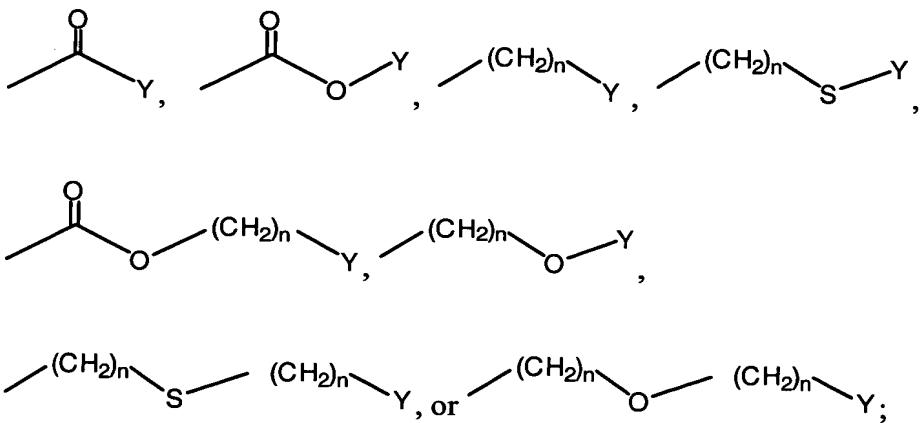
R_6 is selected from H, C₁-C₆ alkyl, C₁-C₆ alkoxy, phenyl, -O-phenyl, benzyl, -O-benzyl, the phenyl and benzyl rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, -NO₂, -CF₃, or -OH;

R_7 is selected from -(CH₂)_n-COOH, -(CH₂)_n-N-(C₁-C₆ alkyl)₂, -(CH₂)_n-NH-(C₁-C₆ alkyl), -CF₃, C₁-C₆ alkyl, C₃-C₅ cycloalkyl, C₁-C₆ alkoxy, -NH-(C₁-C₆ alkyl), -N-(C₁-C₆

alkyl), pyridinyl, thienyl, furyl, pyrrolyl, phenyl, -O-phenyl, benzyl, -O-benzyl, adamantyl, or morpholinyl, the rings of these groups being optionally substituted by from 1 to 3 substituents selected from halogen, C₁-C₆ alkyl, C₁-C₆ alkoxy, -NO₂, -CF₃, or -OH;

n is an integer from 0 to 3;

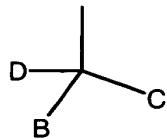
R₃ is selected from H, -CF₃, -COOH, C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, C₃-C₁₀ cycloalkyl, -C₁-C₆ alkyl-C₃-C₁₀ cycloalkyl, -CHO, halogen, or a moiety of the formulae:



wherein n is independently selected in each appearance as an integer from 0 to 3, preferably 0 to 2, more preferably 0 to 1, Y is C₁-C₆ alkyl, C₃-C₅ cycloalkyl, phenyl, benzyl, napthyl, pyridinyl, quinolyl, furyl, thienyl, morpholinyl, pyrrolidinyl, or pyrrolyl; rings of these groups being optionally substituted by from 1 to 3 substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, -NH₂, -NO₂ or a five membered heterocyclic ring containing one heteroatom selected from N, S, or O, preferably S or O;

R₄ is selected from the group of C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, -(CH₂)_n-C₃-C₆ cycloalkyl, -(CH₂)_n-S-(CH₂)_n-C₃-C₅ cycloalkyl, -(CH₂)_n-O-(CH₂)_n-C₃-C₅ cycloalkyl, or the groups of:

a) a moiety of the formulae -(CH₂)_n-A, -(CH₂)_n-S-A, or -(CH₂)_n-O-A, wherein A is the moiety:



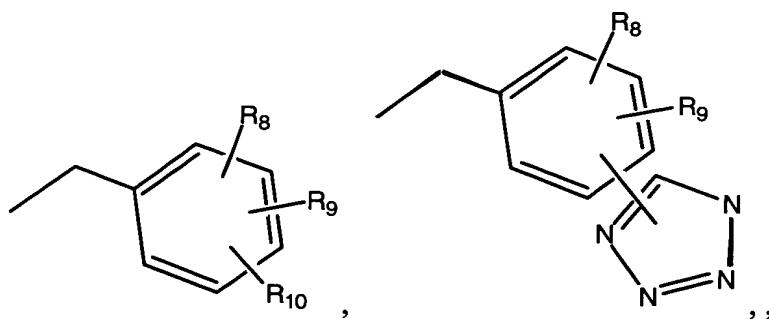
wherein

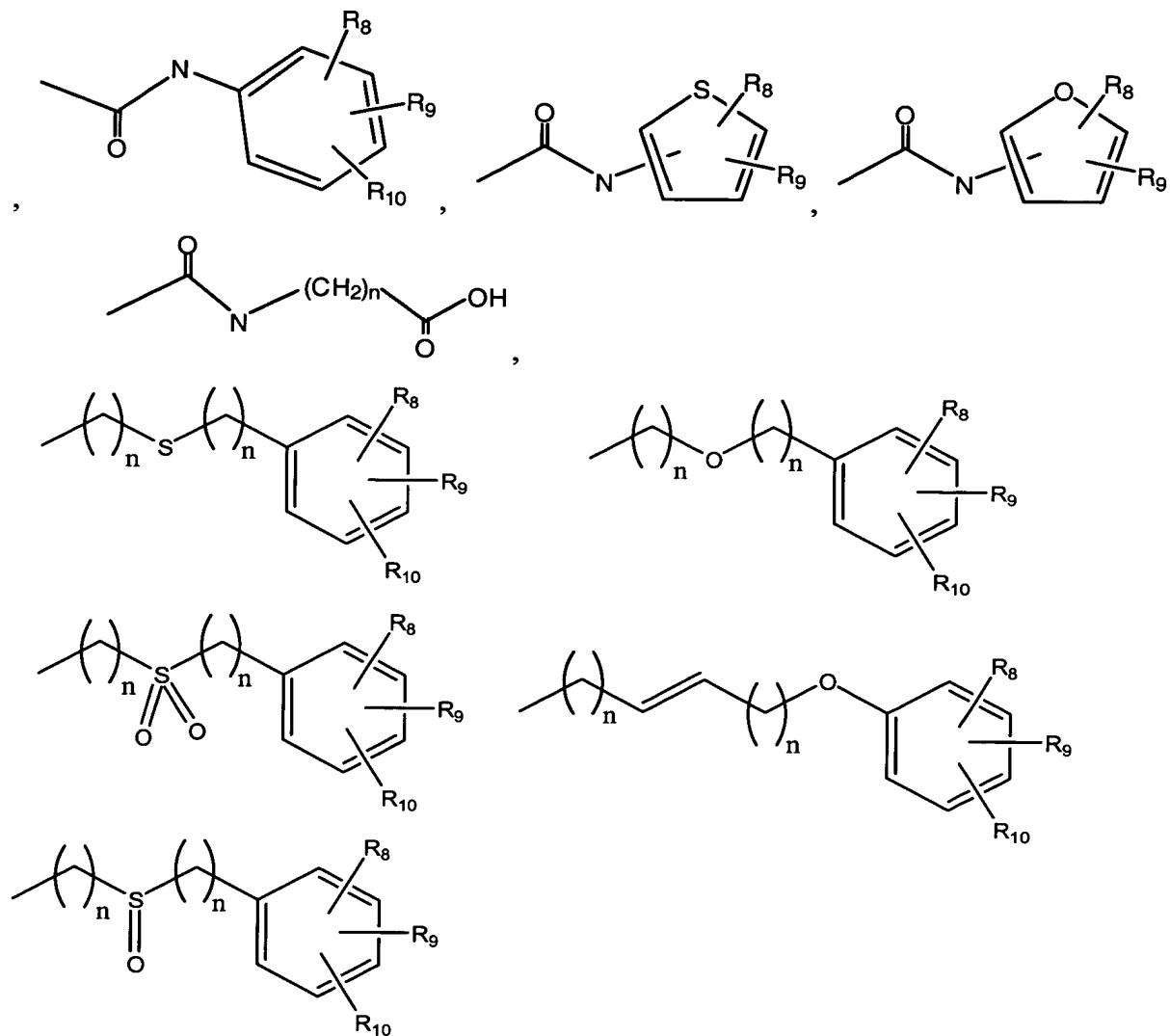
D is H, C₁-C₆ lower alkyl, C₁-C₆ lower alkoxy, or -CF₃;

B and C are independently selected from phenyl, pyridinyl, furyl, thienyl, pyrimidinyl or pyrrolyl groups, each optionally substituted by from 1 to 3, preferably 1 to 2, substituents selected from H, halogen, -CF₃, -OH, -C₁-C₆ alkyl, C₁-C₆ alkoxy, or -NO₂;

R₅ is selected from -COOH, -C(O)-COOH, -(CH₂)_n-C(O)-COOH, -(CH₂)_n-COOH, -CH₂-phenyl-C(O)-benzothiazole,

(CH₂)_n-CH=CH-COOH,





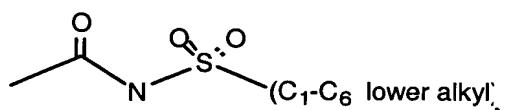
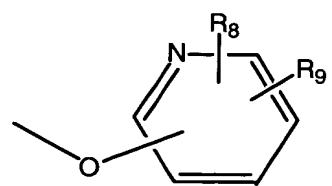
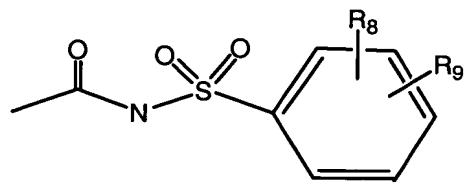
n is an integer from 0 to 3;

R_8 is selected from H, $-COOH$, $-(CH_2)_n-COOH$, $-(CH_2)_n-C(O)-COOH$, tetrazole, $-C(O)-NH_2$, $-(CH_2)_n-C(O)-NH_2$,

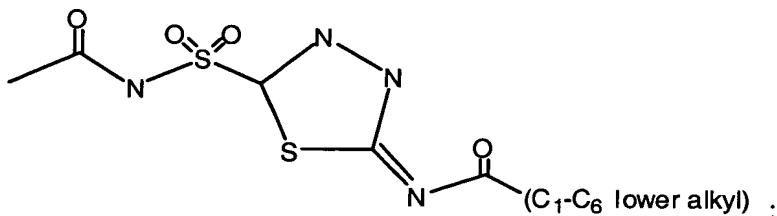
n is an integer from 0 to 3;

R₉ is selected from H, halogen, -CF₃, -OH, -(CH₂)_n-COOH, -(CH₂)_n-C(O)-COOH, -C₁-C₆ alkyl, -O-C₁-C₆ alkyl, -NH(C₁-C₆ alkyl), -N(C₁-C₆ alkyl)₂;
 n is an integer from 0 to 3;

R_{10} is selected from the group of H, halogen, $-CF_3$, $-OH$, $-(CH_2)_n-COOH$, $-(CH_2)_n-C(O)-COOH$, $-C_1-C_6$ alkyl, $-O-C_1-C_6$ alkyl, $-NH(C_1-C_6$ alkyl), $-N(C_1-C_6$ alkyl)₂,

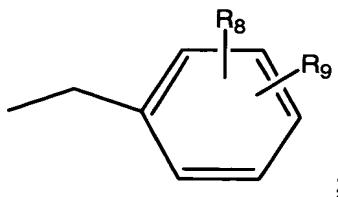


, $(C_1-C_6$ lower haloalkyl) or

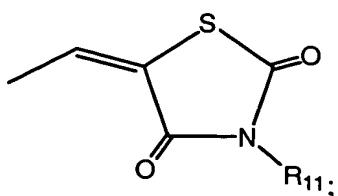


n is an integer from 0 to 3;

R_{11} is selected from H, C_1-C_6 lower alkyl, $-CF_3$, $-COOH$, $-(CH_2)_n-COOH$, $-(CH_2)_n-C(O)-COOH$, or



with a proviso that the complete moiety at the indole or indoline 1-position created by any combination of R_5 , R_8 , R_9 , R_{10} , and/or R_{11} shall contain at least one acidic moiety selected from or containing a carboxylic acid, a tetrazole, or a moiety of the formulae: $-C(O)-NH_2$, $-(CH_2)_n-C(O)-NH_2$, or



n is an integer from 0 to 3;
or a pharmaceutically acceptable salt thereof...